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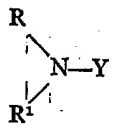
(54) MONO-ALKYL-SUBSTITUTED DIARYLAMINO- AND ARYL-(4-ALKYL-BENZYL)-AMINO-ALKYLAMINES

(71) We, PFIZER LIMITED, a British Company, of Ramsgate Road, Sandwich, Kent, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

The invention relates to compounds having anti-histamine activity, and is particularly concerned with a class of novel mono-alkyl-substituted diarylamino- and aryl-(4-alkyl-benzyl)-aminoalkylamines which have the property of blocking the actions of histamine at the so-called "H_2" receptor sites, e.g. those which influence gastric acid secretion, but have little or no ability to block the actions of histamine at the so-called "H_1" receptor sites, e.g. those which influence bronchial constriction.

The novel compounds of the invention have the general formula:

(I)



where R represents an unsubstituted aryl group which may be a phenyl group or a heterocyclic group;

R^1 represents a 4-alkyl-phenyl, 4-alkyl-benzyl or 5-alkyl-2-thienyl group, wherein the alkyl group contains 4 or 5 carbon atoms, with the proviso that R^1 does not represent a 5-alkyl-2-thienyl group when R represents a thienyl group;

and Y represents *either* (A) an aminoalkyl group of the formula —Alk—NR^2R^3, in which R^2 and R^3 each represent a lower alkyl group containing from 1 to 4 carbon atoms or together with the nitrogen atom to which they are attached form a saturated heterocyclic group containing at least 4 carbon atoms and optionally a further nitrogen atom or an oxygen or sulphur atom, and 'Alk' represents a divalent saturated aliphatic hydrocarbon group containing from 2 to 4 carbon atoms, the free valences being located on different carbon atoms;

or (B) an amino-cyclic group of the formula —C_nH_{2n}—CH Z, in which n is 0 to 3 and Z is a divalent group which completes a saturated heterocyclic ring containing at least one nitrogen atom and at least 4 carbon atoms, any such nitrogen atom being separated from the nitrogen atom to which the amino-cyclic group is attached by a chain of from 2 to 4 carbon atoms;

and the pharmaceutically-acceptable acid addition salts of such compounds.

When R represents a heterocyclic group in the formula (I), it may be, for example, a pyridyl, pyrimidyl, thienyl or a thiazolyl group. Preferably, R is a phenyl, 2-thienyl or 2-pyridyl group.

The alkyl group of R^1 in formula (I) may be a straight or branched chain alkyl group. For example, it may be a *n*-butyl, *iso*-butyl, *sec.*-butyl or *tert.*-butyl group or an amyl group, but preferable it is a *tert.*-butyl or a neopentyl group.

When Y is an —Alk—NR^2R^3 group, R^2 and R^3 may each be for example, a methyl, ethyl, propyl or butyl group, or together with the nitrogen atom may form, for example, a pyrrolidino, piperidino, morpholino, thiomorpholino, piperazino, perhydroazepino or perhydrodiazepino group. When R^2 and R^3 form with the nitrogen atom a saturated heterocyclic group which contains a further nitrogen atom, then such further nitrogen preferably carries a lower alkyl or benzyl group as substituent.

[*Price 25p*]

When Y is an —Alk—NR^2R^3 group, —Alk— may be, for example, an ethylene, propylene, ethyl-substituted ethylene, dimethyl-substituted ethylene, trimethylene or tetramethylene group. Preferably —Alk— is an ethylene group, such that Y represents an aminoethyl group of the formula

$$—CH_2CH_2NR^2R^3.$$

When Y is a $—C_nH_{2n}—\overset{\frown}{CH\ Z}$ group, $—C_nH_{2n}—$ may be, for example, a methylene, ethylidene, ethylene, propylene or trimethylene group, and the heterocyclic ring completed by Z may be, for example, a pyrrolidine, piperidine, morpholine, thiomorpholine, piperazine, perhydroazepine or perhydrodiazepine ring, provided that any nitrogen atom in the ring is separated by at least 2 carbon atoms from the nitrogen atom to which the group is attached.

Thus, $—C_nH_{2n}—\overset{\frown}{CH\ Z}$ may be, for example, a 3-pyrrolidinyl or 3- or 4-piperidyl group, a 2- or 3-pyrrolidinylmethyl or 2-, 3- or 4-piperidylmethyl group, a 2-(2- or 3-pyrrolidinyl)ethyl or 2-(2- or 3-piperidyl)ethyl group, or a 3-(2-pyrrolidinyl)propyl or 3-(2-piperidyl)propyl group. Any nitrogen atom in Z is preferably substituted with a lower alkyl or a benzyl group while any carbon atom in $—\overset{\frown}{CH\ Z}$ may be substituted with a lower alkyl group. Throughout the specification, by lower alkyl group is meant one which contains from 1 to 4 carbon atoms.

Pharmaceutically-acceptable acid addition salts of the compounds of the invention can be prepared from acids which form non-toxic addition salts containing pharmaceutically-acceptable anions, such as the hydrochloride, hydrobromide, hydroiodide, sulphate or bisulphate, phosphate or acid phosphate, acetate, maleate, fumarate, oxalate, lactate, tartrate, citrate, gluconate, saccharate, and *p*-toluene sulphonate salts.

Those compounds of the invention in which R or R^1 do not represent a thienyl or a 5-alkyl-2-thienyl group, respectively, may be prepared from the appropriate secondary amine of the formula:

$$RR^1NH,$$

where R and R^1 are as defined above, by reaction with an alkali metal compound in an inert solvent to form the alkali metal derivative of the secondary amine, and then with

(a) the appropriate halide, of the formula: hal—Alk—NR^2R^3 or hal—$C_nH_{2n}—\overset{\frown}{CH\ Z}$, where 'hal' represents a halogen atom, to yield the required product direct; or

(b) a compound of the formula: hal—Alk—Q, where Q is halogen or other 'leaving' group, e.g. an aryl sulphonyloxy group such as benzene sulphonyloxy or *p*-toluene sulphonyloxy, to form a compound of the formula:

$$RR^1N—Alk—hal$$

which is then reacted with the appropriate secondary amine HNR^2R^3; or

(c) a halo-alkanol of the formula:

$$hal—Alk—OH,$$

to form a compound of the formula:

$$RR^1N—Alk—OH$$

which is converted to the halide, e.g. by reaction with thionyl chloride, and then reacted with the appropriate secondary amine as in (b).

The formation of the alkali metal derivative of the secondary amine RR^1NH may be carried out by adding sodium hydride or sodamide cautiously to a solution of the amine in an inert solvent, e.g. toluene or dimethylformamide, and then heating. Reaction with one of the halides denoted in methods (a), (b) and (c) may then be carried out at reflux temperature. Subsequent reaction in methods (b) and (c) with the secondary amine may be carried out in any suitable inert solvent, e.g. benzene, under reflux conditions.

Alternatively, compounds of the invention may be prepared from an amine of the formula RNH_2 or R^1NH_2, where R is other than thienyl and R^1 is other than 5-alkyl-2-thienyl. Where R represents a phenyl group or R^1 represents a 4-alkyl-phenyl or -benzyl group, the amine may be reacted directly with one of the halides in methods (a), (b) and (c) above, the product then being reacted further with a secondary amine HNR^2R^3 in methods (b) and (c). In the case where R represents a pyridyl, pyrimidyl or a thiazolyl group, the alkali metal derivative of $R—NH_2$ is first formed, preferably by adding sodamide cautiously to a solution of the amine in an inert solvent, e.g. toluene, and this is then submitted to reaction with a halide/secondary amine by one of the procedures (a), (b) or (c).

Thereby, compounds of the formula:

$$R—NH—Y \quad \text{or} \quad R^1—NH—Y$$

are produced, which may then be reacted with the appropriate halo-compound R^1-hal or R-hal, respectively. When the halo-compound R^1-hal or R-hal is a halo-benzene, it is preferably a bromo-benzene and reaction is preferably carried out in the presence of copper. When the halo-compound R^1-hal or R-hal is a halo-thiophene it is preferably a bromo-thiophene and the reaction is preferably carried out in the presence of potassium and cuprous iodides and potassium carbonate. The chloride is the preferred halide for

R^1-hal when the latter represents a 4-alkylbenzyl halide, and in this case the secondary amine, R—NH—Y, is preferably first converted to the monohydrochloride salt before reaction is performed in an inert solvent, e.g. dimethylformamide, to yield the desired product. In the case of compounds in which R represents a pyridyl, primidyl or a thiazolyl group, the secondary amine R—NH—Y is reacted with sodamide in an inert solvent, e.g. toluene, followed by the appropriate halocompound, R^1-hal, to yield the desired product. The substituted halobenzene and halothiophene are both preferably bromo, and the benzyl halide is preferably the chloride.

In each method, the product may be obtained as free base by precipitation or by removal of solvent under reduced pressure, and purified by addition of water, extraction into a suitable solvent, drying, filtration and evaporation under reduced pressure. Acid addition salts may be obtained in the usual manner by addition of the appropriate acid in a suitable solvent, to the liquid base, or to a solution thereof, and collection of the precipitate. Purification is carried out in the usual manner by recrystallisation from a suitable solvent.

Analysis:—
Found:
Required for $C_{22}H_{32}N_2 . C_6H_8O_7$:

Examples II to VIII

By methods similar to that of Example I, the compounds shown in the following table were prepared from 4-*tert.*-butyl-diphenylamine and the appropriate chloride Y—Cl, and characterised as the salt indicated.

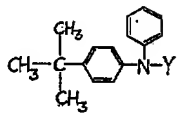
The invention is illustrated by the following Examples of the preparation of novel compounds, all temperatures being given in ° C.

Example I

Sodium hydride (2.13 g; 50% dispersion in oil) was added to a solution of 4-*tert.*-butyldiphenylamine (10.0 g) in dry dimethylformamide (50 ml) and the mixture stirred and gently warmed to 70° to give a green coloured solution. 2-Diethylamino-ethyl chloride (9 g) was added dropwise to give a yellow-orange precipitate. The reaction mixture was kept at 100° for 2 hours, cooled, poured into water, made acidic to pH 3.0 and extracted with diethyl ether. The aqueous phase was then separated, basified and extracted with ethyl acetate. Evaporation of the organic extract gave *N,N-diethyl-2-(4-tert.-butyl - diphenylamino)ethylamine* as a yellow oil which was distilled under high vacuum to yield 8.6 g, b.p. 160°/0.4 mm mercury pressure. This oil was converted to the citrate salt by conventional means and recrystallised from ethyl acetate.

Yield 11.6 g m.p. 124—126°

C, 64.7;	H, 7.75;	N, 5.45%
C, 65.1;	H, 7.8;	N, 5.4%



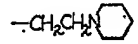
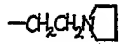
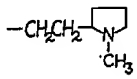
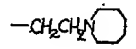
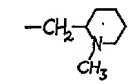
Example	Y	Salt	m.p. °C.	Analysis % (Theoretical in brackets) C	H	N
II		HCl	237—9°	73.8 (74.1	9.0 8.9	7.5 7.5)
III		HCl	199—201°	74.1 (73.6	9.1 8.7	7.6 7.8)
IV	$-CH_2CH_2N(CH_3)_2$	HCl	205—206½°	72.2 (72.6	8.9 8.2	8.6 8.5)
V	$-CH_2CH_2CH_2N(CH_3)_2$	HCl	182—3°	72.9 (72.75	9.1 9.0	7.7 8.1)

TABLE (Continued)

Example	Y	Salt	m.p. °C.	Analysis % (Theoretical in brackets) C	H	N
VI		HCl	170—3°	74.3 (74.1	8.8 8.9	6.8 7.5)
VII		HCl	243—5°	74.7 (74.5	9.1 9.1	6.9 7.2)
VIII		fumarate	155—7°	71.6 (71.65	8.1 8.0	6.05 6.2)

Example IX

By the method similar to that of Example I, 1 - (4 - *neopentyldiphenylamino*) - 2-*pyrrolidinoethane hydrochloride*, m.p. 199—200°, was produced.

Analysis:—

Found: C, 74.2; H, 8.95; N, 7.4%

Required for $C_{23}H_{32}N_2 . HCl$: C, 74.1; H, 8.9; N, 7.5%

Example X

A mixture of aniline (60.0 g) and 2-pyrrolidinoethyl chloride hydrochloride (51.0 g) was heated on a steam bath for 5½ hours and then cooled, basified by addition of aqueous sodium hydroxide solution and extracted with diethyl ether. The ethereal solution was washed with water, dried over anhydrous magnesium sulphate, and evaporated *in vacuo* to a brown oil, which was subsequently distilled under reduced pressure yielding 45.5 g of a pale yellow oil. The

latter was redistilled under reduced pressure to yield 40.9 g of 1-anilino-2-pyrrolidinoethane as a colourless oil, b.p. 158—162°/10 mm.

Analysis:—

Found:	C, 75.25;	H, 9.38;	N, 14.67%
Required for $C_{12}H_{18}N_2$:	C, 75.74;	H, 9.54;	N, 14.72%

The previous product (19.0 g), 2-bromo-5-neopentylthiophene (29.1 g), anhydrous potassium carbonate (20.7 g), cuprous iodide (1.0 g) and potassium iodide (1.0 g) were heated under reflux in dimethylformamide (50 ml) for 40 hours. The mixture was then cooled, poured into water and extracted with diethyl ether. The ethereal solution was washed with water, dried over anhydrous magnesium sulphate and evaporated *in vacuo* to an oil which was subsequently distilled at reduced pressure yielding 16.6 g of *N - (5 - neopentyl - 2-thienyl) - N - phenyl - 2 - pyrrolidinoethylamine*, b.p. 184—9°/0.3 mm. By the usual procedure the maleate salt was prepared, and this was then recrystallised from a mixture of ethanol and diethyl ether to yield crystals, m.p. 139—140.5°.

Analysis:—

Found:	C, 65.55;	H, 7.6;	N, 6.0%
Required for $C_{21}H_{30}N_2S \cdot C_4H_4O_4$:	C, 65.5;	H, 7.5;	N, 6.1%

Example XI

By the method similar to that of Example X, using aniline, 2-diethylaminoethyl chloride hydrochloride and 2-bromo-5-neopentylthiophene as starting materials, *N,N-diethyl-N'-(5 - neopentyl - 2 - thienyl) - N' - phenylethylenediamine*, b.p. 164—7°/0.1 mm was prepared. The free base was converted to the citrate salt, which was recrystallised from a mixture of ethanol and diethyl ether to yield 10.1 g of crystals, m.p. 99—101°.

Analysis:—

Found:	C, 60.4;	H, 7.55;	N, 5.3%
Required for $C_{21}H_{32}N_2S \cdot C_6H_8O_7$:	C, 60.4;	H, 7.5;	N, 5.2%

Example XII

By the method similar to that of the first stage in Example X, using 4-*tert.*-butyl-aniline and 2-pyrrolidinoethyl chloride hydrochloride, N - (4 - *tert.* - butyl - phenyl) - 2 - pyrrolidinoethylamine (b.p. 201—2°/11 mm) was prepared. This was then reacted with 2-bromothiophene by the method similar to that of the second stage of produce *N-(4-tert.-butyl - phenyl) - N - thienyl - 2 - pyrrolidinoethylamine*, b.p. 242—252°/10 mm. The free base was converted to the fumarate salt, m.p. 141—4°.

Analysis:—

Found:	C, 76.1;	H, 10.7;	N, 12.8%
Required for $C_{14}H_{24}N_2$:	C, 76.3;	H, 11.0;	N, 12.7%

The previous product (6.8 g) was dissolved in N HCl (30 ml) and the solution evaporated *in vacuo* to dryness to give the monohydrochloride salt. 4-*tert.*-Butyl-benzyl chloride (5.5 g) was dissolved in dry dimethylformamide (50 ml) and the solution added to the monohydrochloride salt, the resulting clear solution then being heated under reflux for 7 hours and allowed to stand at room temperature overnight. Basification with aqueous sodium hydroxide solution and extraction with diethyl ether followed by evaporation of the ethereal solution *in vacuo* afforded the crude product as a brown oil. Distillation under reduced pressure yielded a yellow oil, to which was added a solution of oxalic acid in diethyl ether. The resulting solid was collected by filtration and recrystallised from 2-butanone containing a trace of methanol to yield 8.0 g of *N-(4-tert.-butyl - benzyl) - N - phenyl - N',N' - di-(n - propyl) - ethylenediamine oxalate*, m.p. 171—2°.

Example XIII

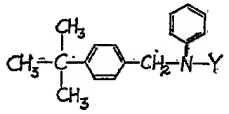
A mixture of 2-di-(n-propyl)amino-ethyl chloride hydrochloride (19.7 g) and aniline (20 g) was heated on a steam bath for 5 hours and then cooled, basified by addition of aqueous sodium hydroxide solution and extracted with diethyl ether. The ethereal solution was washed with water, dried over anhydrous magnesium sulphate and evaporated *in vacuo* to a brown oil which was subsequently distilled under reduced pressure yielding 17.6 g of 1-anilino-2-di-(n-propyl)-amino-ethane as a colourless liquid, b.p. 158—163°/10 mm.

Analysis:—

Found:	C, 71.3;	H, 8.9;	N, 5.9%
Required for $C_{25}H_{38}N_2 . C_2H_2O_4$:	C, 71.0;	H, 8.8;	N, 6.1%

Examples XIV to XVII

By methods similar to that of Example XIII, the compounds shown in the following table were prepared from aniline, the appropriate chloride Y—Cl and 4-*tert.*-butyl-benzyl chloride, and characterised as the salt indicated.



Example	Y	Salt	m.p. °C.	Analysis % (Theoretical in brackets) C	H	N
XIV		HCl	235—7°	75.3 (74.9	9.45 9.3	6.9 7.0)
XV	$-CH_2CH_2N(C_2H_5)_2$	HCl	160—2°	73.4 (73.7	9.2 9.4	8.3 9.5)
XVI		HCl	153—5°	73.8 (74.1	8.8 8.9	7.8 7.5)
XVII	$-CH_2CH_2N(CH_3)_2$	HCl	176—8°	72.7 (72.7	9.0 9.0	7.9 8.1)

Example XVIII

By the method similar to that of Example XIII, *N,N - diethyl - N' - (4 - neopentyl-benzyl) - N' - phenyl - ethylenediamine hydrochloride*, m.p. 160°, was produced.

Analysis:—

Found:	C, 74.5;	H, 9.6;	N, 7.1%
Required for $C_{24}H_{36}N_2 . HCl$:	C, 74.1;	H, 9.6;	N, 7.2%

Example XIX

Sodamide (1.2 g) was added in small portions to a solution of 2-amino-pyridine (2.85 g) in dry toluene (50 ml) and the mixture was stirred and refluxed for 1 hour. 2-Di-(n-propyl)amino-ethyl chloride (4.9 g) was then added and the mixture was refluxed for 2 hours, after which it was cooled to room temperature and poured into water (150 ml). Diethyl ether extraction followed by evaporation of the ethereal solution *in vacuo* afforded the crude product as a brown oil. The latter was distilled under reduced pressure to yield 3.6 g of N,N - di - (n - propyl) - N' - (2-pyridyl)-ethylenediamine, b.p. 160—4°/10 mm.

A small sample of the free base product was converted to the hydrochloride salt and recrystallised from a mixture of methanol and 2-butanone, yielding crystals, m.p. 166—172°.

Analysis:—

Found:	C, 62.7;	H, 8.6;	N, 14.7%
Required for $C_{18}H_{23}N_3 . 2HCl$:	C, 53.1;	H, 8.6;	N, 14.3%

To a stirred solution of the previous product (free base) (3.0 g) in dry toluene (50 ml) was added sodamide (0.53 g) in small portions. After the addition was complete the mixture was refluxed for 1 hour, 4-neopentylbenzyl chloride (2.7 g) then being added and refluxing continued for a further 6 hours. The mixture was cooled to room temperature, poured into water (150 ml) and extracted with diethyl ether. Evaporation of the ethereal solution afforded the crude product as an oil, which was then distilled under reduced pressure. The distillate was converted to the oxalate salt, which was recrystallised from *iso*propanol to yield 1.9 g of *N,N-di-(n-propyl) - N' - (4 - neopentyl - benzyl) - N'-(2 - pyridyl) - ethylenediamine oxalate*, m.p. 155—6°.

Analysis:—

Found:	C, 68.3;	H, 8.7;	N, 8.8%
Required for $C_{25}H_{39}N_3 . C_2H_2O_4$:	C, 68.5;	H, 9.15;	N, 8.9%

Example XX

By the method similar to that of Example XIX, *N - (4 - tert. - butyl - benzyl) - N-(2 - pyridyl) - N,'N' - diethyl - ethylenediamine hydrochloride monohydrate*, m.p. 165—7°, was produced.

Analysis:—

Found:	C, 67.0;	H, 9.1;	N, 11.0%
Required for $C_{22}H_{33}N_3 . HCl . H_2O$:	C, 67.2;	H, 9.2;	N, 10.7%

The compounds of formula (I) have been found to be potent H_2-antagonists, i.e. blockers of the action of histamine at H_2 receptor sites. This has been shown in tests in which their inhibiting effect on histamine-induced gastric acid secretion has been measured in experimental animals. In one of such tests, anaesthetised rats are sensitised by intravenous injection of carbachol (carbamoyl choline chloride) and are then injected intravenously with a standard dose of histamine and the pH of the gastric contents is measured over a short period, until it stabilises. The test compound is then administered, also intravenously, and the pH of the gastric contents is measured over a further period, until the inhibiting effect of the compound is no longer apparent. A 50% inhibition of the effect of histamine on pH, at a dose of 10 mg/kg has been found for many of the compounds of the invention, while the most potent have a 100% inhibiting effect at 5 mg/kg or an 80% inhibiting effect at 2.5 mg/kg or even less. The more potent compounds are also effective over a period of 3 hours or more after injection. In a similar test with anaesthetised cats, histamine is continuously infused before and during administration of the test compound.

By virtue of their H_2-blocking activity, the compounds of formula (I) are useful for reducing gastric hyper-acidity and therefore in the treatment of peptic ulcers and other conditions caused or exacerbated by gastric

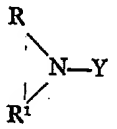
hyper-acidity. They are also useful for relieving other conditions due to the actions of histamine at H_2 receptor sites.

By virtue of their performance in the above tests, the preferred compounds are to be found generally in those compounds of the invention in which R represents a phenyl group and R^1 represents a 4-alkyl-phenyl or 5-alkyl-2-thienyl group, wherein the alkyl group is either a *tertiary*-butyl group or a neopentyl group. More particularly, the preferred compounds have the above features and in addition Y represents a 2-pyrrolidinoethyl or a N,N-diethylaminoethyl group. Especially preferred compounds are 1 - (4 - neopentyl - diphenylamino) - 2 - pyrrolidinoethane, N-(5 - neopentyl - 2 - thienyl) - N - phenyl - 2-pyrrolidinoethylamine and N,N - diethyl-N′ - (5 - neopentyl - 2 - thienyl) - N′-phenylethylenediamine and their pharmaceutically-acceptable acid addition salts.

The compounds of the invention can be administered alone, but will generally be administered in admixture with a pharmaceutical carrier selected with regard to the intended route of administration and standard pharmaceutical practice. For example, they may be administered orally in the form of tablets containing such excipients as starch or lactose, or in capsules either alone or in admixture with excipients, or in the form of elixirs or suspensions containing flavouring or colouring agents. They may be injected parenterally, for example, intramuscularly or subcutaneously. For parenteral administration, they are best used in the form of a sterile aqueous solution which may contain other solutes, for example, enough salts or glucose to make the solution isotonic.

WHAT WE CLAIM IS:—

1. Compounds having the formula:



where R represents an unsubstituted aryl group which may be a phenyl group or a heterocyclic group;

R^1 represents a 4-alkyl-phenyl, 4-alkyl-benzyl or 5-alkyl-2-thienyl group, wherein the alkyl group contains 4 or 5 carbon atoms, with the proviso that R^1 does not represent a 5-alkyl-2-thienyl group when R represents a thienyl group;

and Y represents either (A) an aminoalkyl group of the formula —Alk—NR^2R^3, in which R^2 and R^3 each represent a lower alkyl group containing from 1 to 4 carbon atoms or together with the nitrogen atom to which they are attached form a saturated heterocyclic group containing at least 4 carbon atoms and optionally a further nitrogen atom or an oxygen or sulphur atom, and 'Alk' represents a divalent saturated aliphatic hydrocarbon group containing from 2 to 4 carbon atoms, the free valences being located on different carbon atoms; *or* (B) an amino-cyclic group of the formula —C_nH_{2n}—CH Z, in which n is 0 to 3 and Z is a divalent group which completes a saturated heterocyclic ring containing at least one nitrogen atom and at least 4 carbon atoms, any such nitrogen atom being separated from the nitrogen atom to which the amino-cyclic group is attached by a chain of from 2 to 4 carbon atoms;

and the pharmaceutically-acceptable acid addition salts of such compounds.

2. Compounds as claimed in Claim 1, in which R represents a phenyl group and R^1 represents a 4-alkyl-phenyl group.

3. Compounds as claimed in Claim 1, in which R represents a phenyl group and R^1 represents a 5-alkyl-2-thienyl group.

4. Compounds as claimed in Claim 1, in which R represents a 2-thienyl group.

5. Compounds as claimed in Claim 1, in which R represents a 2-pyridyl group.

6. Compounds as claimed in any preceding claim, in which the alkyl group of R^1 is a *tertiary*-butyl group or a neopentyl group.

7. Compounds as claims in any preceding claim, in which Y represents an aminoethyl group of the formula

$$—CH_2CH_2NR^2R^3.$$

8. Compounds as claimed in Claim 7, in which —NR^2R^3 of the group Y represents a diethylamino group or a pyrrolidino group.

9. 1 - (4 - Neopentyl - diphenylamino)-2-pyrrolidinoethane and its pharmaceutically-acceptable acid addition salts.

10. N - (5 - Neopentyl - 2 - thienyl) - N-phenyl - 2 - pyrrolidinoethylamine and its pharmaceutically-acceptable acid addition salts.

11. N,N - Diethyl - N′ - (5 - neopentyl-2 - thienyl) - N′ - phenyl - ethylenediamine and its pharmaceutically-acceptable acid addition salts.

12. A compound as claimed in Claim 1, the preparation of which is described in any one of the Examples.

13. A pharmaceutical composition comprising a compound as claimed in any preceding claim and a pharmaceutically-acceptable carrier material.

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